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                property data
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NEWS 18 MAR 08 X.25 communication option no longer available after June 2006
NEWS 19 MAR 22 EMBASE is now updated on a daily basis
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NEWS 21 APR 03 Bibliographic data updates resume; new IPC 8 fields and IPC
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NEWS 22 APR 04
NEWS 23 APR 12
                LINSPEC, learning database for INSPEC, reloaded and enhanced
                Improved structure highlighting in FQHIT and QHIT display
NEWS 24 APR 12
                in MARPAT
NEWS 25 APR 12
                Derwent World Patents Index to be reloaded and enhanced during
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5 DICTIONARY FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5

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=>

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N
Q
12
13
1
10

11

chain nodes :
11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-13 8-12 10-11 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
5-7 6-10 7-8 8-9 8-12 9-10 10-11
exact bonds :
2-13 13-14
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=>

Uploading C:\Program Files\Stnexp\Queries\10619777core2.str

N
Q
3
5
8
12
0
11

chain nodes :
11 12 13 14
ring nodes :
1 2 3 4 5 6 7 8 9 10
chain bonds :
2-13 8-12 10-11 13-14
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10
exact/norm bonds :
5-7 6-10 7-8 8-9 8-12 9-10 10-11
exact bonds :

2-13 13-14 normalized bonds : 1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS

L2 STRUCTURE UPLOADED

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Uploading C:\Program Files\Stnexp\Queries\10619777core3.str

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Q
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5
8
12

11

chain nodes : 11 12 13 14 ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-13 8-12 10-11 13-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

5-7 6-10 7-8 8-9 8-12 9-10 10-11

exact bonds : 2-13 13-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS

L3 STRUCTURE UPLOADED

=> s L1

SAMPLE SEARCH INITIATED 09:34:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 85 TO ITERATE

100.0% PROCESSED 85 ITERATIONS 2 ANSWERS SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 1147 TO 2253
PROJECTED ANSWERS: 2 TO 124

L4 2 SEA SSS SAM L1

=> s L2

SAMPLE SEARCH INITIATED 09:34:29 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED -

4 TO ITERATE

200

٥

100.0% PROCESSED

4 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: **COMPLETE** ONLINE

> BATCH **COMPLETE**

PROJECTED ITERATIONS: 4 TO PROJECTED ANSWERS: 0 TO

L5 0 SEA SSS SAM L2

=> s L3

SAMPLE SEARCH INITIATED 09:34:37 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED -4 TO ITERATE

100.0% PROCESSED

SEARCH TIME: 00.00.01

4 ITERATIONS

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE** BATCH **COMPLETE**

4 TO PROJECTED ITERATIONS: 200 PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L3

=> sel L4

E1 THROUGH E2 ASSIGNED

=> file medline caplus biosis

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SINCE FILE TOTAL SESSION ENTRY

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1.54

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1 (188897-09-8/BI OR 188897-12-3/BI)

=> d L7 ti abs bib

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Synthesis of some conformationally-constrained glutamate mimics of TΙ N-{5-[2-(2-amino-3,4-dihydro-4-oxo-5,6,7,8-tetrahydropyrido[2,3d]pyrimidin-6-yl)ethyl[thien-2-ylcarbonyl]-L-glutamic acid (LY254155)

GI

$$H_{2N}$$
 H_{N}
 $H_{$

AB Several new analogs I (R = cis-CO2H, trans-CO2H, H), II, and III of the active title antitumor agent LY254155 have been prepared in which the glutamate moiety has been replaced with conformationally-constrained azetidine and cyclopropane mimics. None of these new analogs exhibited significant cell growth inhibitory activity.

AN 1997:181706 CAPLUS

DN 126:277728

TI Synthesis of some conformationally-constrained glutamate mimics of N-{5-[2-(2-amino-3,4-dihydro-4-oxo-5,6,7,8-tetrahydropyrido[2,3-d]pyrimidin-6-yl)ethyl[thien-2-ylcarbonyl]-L-glutamic acid (LY254155)

AU Taylor, Edward C.; Hu, Baihua

CS Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA

SO Heterocycles (1997), 45(2), 241-253 CODEN: HTCYAM; ISSN: 0385-5414

PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

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NEWS 17 MAR 03 Updates in PATDPA; addition of IPC 8 data without attributes

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- L1 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Synthesis of some conformationally-constrained glutamate mimics of N-{5-[2-(2-amino-3,4-dihydro-4-oxo-5,6,7,8-tetrahydropyrido[2,3-d]pyrimidin-6-yl)ethyl[thien-2-ylcarbonyl]-L-glutamic acid (LY254155)

$$H_{2}N$$
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 $H_{4}N$
 $H_{5}N$
 $H_{5}N$
 $H_{6}N$
 $H_{7}N$
 H

AB Several new analogs I (R = cis-CO2H, trans-CO2H, H), II, and III of the active title antitumor agent LY254155 have been prepared in which the glutamate moiety has been replaced with conformationally-constrained azetidine and cyclopropane mimics. None of these new analogs exhibited significant cell growth inhibitory activity.

AN 1997:181706 CAPLUS

DN 126:277728

TI Synthesis of some conformationally-constrained glutamate mimics of N-{5-[2-(2-amino-3,4-dihydro-4-oxo-5,6,7,8-tetrahydropyrido[2,3-d]pyrimidin-6-yl)ethyl[thien-2-ylcarbonyl]-L-glutamic acid (LY254155)

AU Taylor, Edward C.; Hu, Baihua

CS Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA

SO Heterocycles (1997), 45(2), 241-253 CODEN: HTCYAM; ISSN: 0385-5414

PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

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                 Improved structure highlighting in FQHIT and QHIT display
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FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5 DICTIONARY FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

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* The CA roles and document type information have been removed from *

* the IDE default display format and the ED field has been added, *

* effective March 20, 2005. A new display format, IDERL, is now *

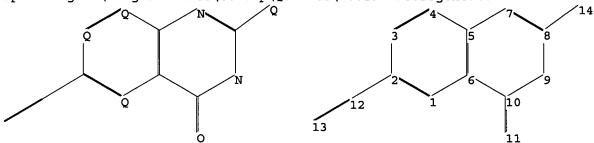
* available and contains the CA role and document type information. *

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

=>

Uploading C:\Program Files\Stnexp\Queries\10619777coregeneric.str



chain nodes:
11 12 13 14
ring nodes:

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-12 8-14 10-11 12-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

5-7 6-10 7-8 8-9 8-14 9-10 10-11

exact bonds : 2-12 12-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS

L1 STRUCTURE UPLOADED

=> s L1

SAMPLE SEARCH INITIATED 11:47:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 189 TO ITERATE

100.0% PROCESSED 189 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2956 TO 4604
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> file medline caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 0.44 0.65

FILE 'MEDLINE' ENTERED AT 11:47:52 ON 28 APR 2006

FILE 'CAPLUS' ENTERED AT 11:47:52 ON 28 APR 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
=> s (MMP-13 or meatlloprot? or (collagenase(w)3))
          2264 (MMP-13 OR MEATLLOPROT? OR (COLLAGENASE(W) 3))
=> s L3 and (cancer or neoplasia or ?rolifera?)
           440 L3 AND (CANCER OR NEOPLASIA OR ?ROLIFERA?)
=> s L4 and Cox-2
            7 L4 AND COX-2
L5
=> dup rem L5
PROCESSING COMPLETED FOR L5
              7 DUP REM L5 (0 DUPLICATES REMOVED)
=> d L6 1-7 ti abs bib
L6
     ANSWER 1 OF 7
                       MEDLINE on STN
     Development and phenotypic characterization of a high density in vitro
ΤI
     model of auricular chondrocytes with applications in reconstructive
     plastic surgery.
AB
     Cultivation of phenotypically stable auricular chondrocytes will have
     applications in autologous chondrocyte transplantation and reconstructive
     surgery of cartilage. Chondrocytes grown in monolayer culture rapidly
     dedifferentiate assuming a fibroblast-like morphology and lose their
     cartilage-specific pattern of gene expression. Three-dimensional
     high-density culture models mimic more closely the in vivo conditions of
     cartilage. Therefore, this study was undertaken to test whether the
     high-density cultures might serve as a suitable model system to acquire
     phenotypically and functionally differentiated auricular chondrocytes from
     porcine cartilage. Freshly isolated porcine auricular chondrocytes were
     cultured for 7 passages in monolayer culture. From each passage (passage
     0 and 1-7) cells were introduced to high-density cultures and examined by
     transmission electron microscopy. Western blotting was used to analyse
     the expression of cartilage-specific markers, such as collagen type II and
     cartilage specific proteoglycan, fibronectin, cell adhesion and signal
     transduction receptor betal-integrin, matrix metalloproteinases (MMP-9,
     MMP-13), cyclo-oxygenase (COX)-2 and
     the apoptosis commitment marker, activated caspase-3. When
     dedifferentiated auricular chondrocytes from monolayer passages 0-4 were
     cultured in high-density culture, they recovered their chondrocytic
     phenotype and formed cartilage nodules surrounded by fibroblast-like cells
     and synthesised collagen type II, proteoglycans, fibronectin and
     betal-integrins. However, chondrocytes from monolayer passages 5-7 did
     not redifferentiate to chondrocytes even when transferred to high-density
     culture, and did not synthesize a chondrocyte-specific extracellular
     matrix. Instead, they produced increasing amounts of MMP-9, MMP
     -13, COX-2, activated caspase-3 and
     underwent apoptosis. Three-dimensional high-density cultures may
     therefore be used to obtain sufficient quantities of fully differentiated
     auricular chondrocytes for autologous chondrocyte transplantation and
     reconstructive plastic surgery.
AN
     2006106071
                   MEDLINE
DN
     PubMed ID: 16493577
TI
     Development and phenotypic characterization of a high density in vitro
     model of auricular chondrocytes with applications in reconstructive
     plastic surgery.
ΑU
     Haisch A; Marzahn U; Mobasheri A; Schulze-Tanzil G; Shakibaei M
     Department of Otorhinolaryngology, Head and Neck Surgery, Charite Medicine
CS
     University Berlin, Campus Benjamin Franklin, Berlin, Germany...
     andreas.haisch@charite.de
so
     Histology and histopathology, (2006 May) Vol. 21, No. 5, pp. 467-76.
```

Journal code: 8609357. E-ISSN: 1699-5848.

CY

Spain

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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LA
     English
FS
     Priority Journals
EΜ
     200604
ED
     Entered STN: 23 Feb 2006
     Last Updated on STN: 14 Apr 2006
     Entered Medline: 13 Apr 2006
     ANSWER 2 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
L6
     Nucleic acids and polypeptides associated with osteoarthritis and
ΤI
     diagnostic and therapeutic uses thereof
     The invention claims high-throughput functional screening assays that
AB
     identify genes and gene products that are associated with the pathogenesis of
     osteoarthritis (OA) in human chondrocytes. In addition, the invention claims
     genes and gene products identified by such functional assays. The genes
     and gene products provided herein are useful inter alia for diagnosing OA
     in individuals and as drug targets for identifying drugs to treat OA.
     CDNA libraries from OA chondrocytes were annotated and mined by searching
     the sequence annotations for keywords. Gene expression data from DNA
     microarrays were also mined to identify OA associated genes. A set of about
     1200 clones were expressed in chondrocyte cells and the transformants were
     screened for expression of OA marker genes by RT-PCR. The marker genes
     were C17, SMOC2, OSF-2 (periostin), MARCKS (myristoylated alanine-rich
     protein kinase C substrate), retinoic acid receptor \beta, zinc finger
     protein Zic1, BASP1 (brain abundant membrane attached signal protein 1),
     DIM1, aggrecanase-1, collagens type I, Iia, and X, iNOS, Cox-
     2, aggrecan and decorin. Sixty-three candidate genes were
     identified in the RT-PCR screen. Another high throughput screen
     identified seven candidate genes that induce clonal proliferation
     of chondrocyte clusters similar to clusters observed in OA cartilage.
     2004:905932 CAPLUS
AN
     141:389861
DN
     Nucleic acids and polypeptides associated with osteoarthritis and
ΤI
     diagnostic and therapeutic uses thereof
IN
     Bodian, Dale Lesley; Daouti, Sherif; Kumar, Chandrika Saidapet; Latario,
     Brian Jude; Quintavalla, Joseph
     Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
PA
SO
     PCT Int. Appl., 227 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
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     PATENT NO.
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    WO 2004092413 A2
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                                          WO 2004-EP4055
                                                                 20040416
PΙ
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                        A3
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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     EP 1618209
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                                          EP 2004-727860
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PRAI US 2003-463933P
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                               20030418
                         W
     WO 2004-EP4055
                               20040416
     ANSWER 3 OF 7
                      MEDLINE on STN
L6
ΤI
     Differentially up-regulated genes in proliferating porcine
```

DT

Journal; Article; (JOURNAL ARTICLE)

neonatal pancreas cells caused by epidermal growth factor. AB Pancreatic duct cells are considered to be a major source for beta-cell regeneration or neogenesis. Although epidermal growth factor (EGF) is a well-known important growth factor for pancreas development, the control of pancreatic duct cell growth and differentiation by EGF is poorly understood. In this study, we focused on identifying the genes that were differentially up-regulated in response to EGF stimulation using monolayer cultured porcine neonatal pancreas cells. Cells were obtained from 1 to 3 day old pigs, dispersed and cultured for 8 days. Monolayer cultured porcine pancreas cells were comprised of duct cells and some endocrine and mesenchymal cells (75.2 +/- 15.1, 19.6 +/- 4.9, and 9.5 +/- 3.1%, respectively). After 16 h in serum free media, cells were treated with 100 microg/L EGF for 24 h. Differentially expressed genes were screened by subtractive hybridization. (3) H-thymidine uptake was significantly increased by EGF with time (untreated vs. 24 h treated, untreated vs. 48 h treated: 305.5 +/- 3.5 cpm vs. 380.3 +/- 17.3 cpm (P < 0.05), 309.2 +/-4.51 vs. 929 +/- 9.19 cpm, (P < 0.005), respectively). Three hundred and fifty cDNA clones were obtained by subtractive hybridization and the inserts were confirmed in 161 colonies and then sequenced. Finally, we found increased mRNA expression of five unknown and five known genes, including cytochrome c oxidase subunit I (COI), cyclooxygenase-2 (COX-2), matrix metalloproteinase-13 (MMP-

13), Wiskott-Aldrich syndrome protein interacting protein (WASPIP), and hyaluronan synthase-2 (HAS-2). We confirmed the up-regulation of these genes by Northern blot and semi-quantitative RT-PCR at various time points. The present findings opened new targets for the research on the mechanisms of pancreatic duct cell proliferation by EGF.

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- AN 2004041791 MEDLINE
- DN PubMed ID: 14743394
- TI Differentially up-regulated genes in **proliferating** porcine neonatal pancreas cells caused by epidermal growth factor.
- AU Jeon Sung Yoon; Baek Kwang-Hyun; Kim Yong-Soo; Park Chung-Gyu; Kwon Hyuk Sang; Ko Seung Hyun; Song Ki-Ho; Yoo Soon Jib; Son Hyun Shik; Cha Bong Yun; Lee Kwang Woo; Son Ho Young; Kang Sung Koo; Yoon Kun-Ho
- CS Department of Endocrinology and Metabolism, Immunology & Cell Biology Core Laboratory, The Catholic University of Korea, Seoul, Korea.
- SO Journal of cellular biochemistry, (2004 Feb 1) Vol. 91, No. 2, pp. 354-64. Journal code: 8205768. ISSN: 0730-2312.
- CY United States
- DT Journal; Article; (JOURNAL ARTICLE)
- LA English
- FS Priority Journals
- EM 200410
- ED Entered STN: 27 Jan 2004 Last Updated on STN: 20 Oct 2004 Entered Medline: 19 Oct 2004
- L6 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Differentially up-regulated genes in **proliferating** porcine neonatal pancreas cells caused by epidermal growth factor
- AB Pancreatic duct cells are considered to be a major source for β-cell regeneration or neogenesis. Although epidermal growth factor (EGF) is a well-known important growth factor for pancreas development, the control of pancreatic duct cell growth and differentiation by EGF is poorly understood. In this study, we focused on identifying the genes that were differentially up-regulated in response to EGF stimulation using monolayer cultured porcine neonatal pancreas cells. Cells were obtained from 1 to 3 day old pigs, dispersed and cultured for 8 days. Monolayer cultured porcine pancreas cells were comprised of duct cells and some endocrine and mesenchymal cells (75.2±15.1, 19.6±4.9, and 9.5±3.1%, resp.). After 16 h in serum free media, cells were treated with 100 μg/L EGF for 24 h. Differentially expressed genes were screened by subtractive hybridization. 3H-thymidine uptake was significantly increased by EGF

with time (untreated vs. 24 h treated, untreated vs. 48 h treated: 305.5±3.5 cpm vs. 380.3±17.3 cpm (P < 0.05), 309.2±4.51 vs. 929±9.19 cpm, (P < 0.005), resp.). Three hundred and fifty cDNA clones were obtained by subtractive hybridization and the inserts were confirmed in 161 colonies and then sequenced. Finally, we found increased mRNA expression of five unknown and five known genes, including cytochrome c oxidase subunit I (COI), cyclooxygenase-2 (COX-2), matrix metalloproteinase-13 (MMP-13), Wiskott-Aldrich syndrome protein interacting protein (WASPIP), and hyaluronan synthase-2 (HAS-2). We confirmed the up-regulation of these genes by Northern blot and semi-quant. RT-PCR at various time points. The present findings opened new targets for the research on the mechanisms of pancreatic duct cell proliferation by EGF.

- AN 2004:140987 CAPLUS
- DN 140:315630
- TI Differentially up-regulated genes in **proliferating** porcine neonatal pancreas cells caused by epidermal growth factor
- AU Jeon, Sung Yoon; Baek, Kwang-Hyun; Kim, Yong-Soo; Park, Chung-Gyu; Kwon, Hyuk Sang; Ko, Seung Hyun; Song, Ki-Ho; Yoo, Soon Jib; Son, Hyun Shik; Cha, Bong Yun; Lee, Kwang Woo; Son, Ho Young; Kang, Sung Koo; Yoon, Kun-Ho
- CS Department of Endocrinology and Metabolism, Immunology & Cell Biology Core Laboratory, The Catholic University of Korea, Seoul, S. Korea
- SO Journal of Cellular Biochemistry (2003), Volume Date 2004, 91(2), 354-364 CODEN: JCEBD5; ISSN: 0730-2312
- PB Wiley-Liss, Inc.
- DT Journal
- LA English
- RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
- TI Preparation of nicotinamide biaryl derivatives as inhibitors of PDE4 isozymes
 GI
- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The title compds. [I; g = 0-1; j = 0-1; provided that when j = 0, n must be 2; k = 0-1; m = 0-2; n = 1-2; W1 = 0, SOt (t = 0-2), NR3; W2 = OCR9R10, or absent; Y = CR1, NOk (k = 0-1); R9, R10 = H, F, CF3, etc.; or R9 and R10 are taken together, but only in the case where m = 1, to form a spiro moiety; R7, R8 have the same meaning as R9, R10 except that one of them must be H; R1, R2 = H, F, Cl, etc.; R3 = H, alkyl, Ph, etc.; R4-R6 = H, F, Cl, etc.; Q1 = Ph, benzodioxyl, etc.; Q2 = biaryl moiety], useful as inhibitors of PDE4 in the treatment of diseases regulated by the activation and degranulation of eosinophils, especially asthma, chronic bronchitis, and chronic obstructive pulmonary disease, were prepared E.g., a multi-step synthesis of the amide II, starting from Me 3-bromobenzoate and 4-formylbenzeneboronic acid, was given. Compds. I showed anti-inflammatory activity at 0.0001 μ M to 20.0 μ M in whole blood assay for LTE4.
- AN 2002:594822 CAPLUS
- DN 137:154857
- TI Preparation of nicotinamide biaryl derivatives as inhibitors of PDE4 isozymes
- IN Chambers, Robert James; Magee, Thomas Victor; Marfat, Anthony
- PA Pfizer Products Inc., USA
- SO PCT Int. Appl., 224 pp. CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.					KIND DATE			APPLICATION NO.							DATE			
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RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN

AB

TI Expression profiling in squamous carcinoma cells reveals pleiotropic effects of vitamin D3 analog EB1089 signaling on cell proliferation, differentiation, and immune system regulation

The active form of vitamin D3, 1α , 25-dihydroxyvitamin D3 [1,25-(OH)2D3] is key mediator of calcium homeostasis and is a component of the complex homeostatic system of the skin. 1,25-(OH)2D3 regulates cellular differentiation and proliferation and has broad potential as an anticancer agent. Oligonucleotide microarrays were used to assess profiles of target gene regulation at several points over a 48 h period by the low calcemic 1,25-(OH)2D3 analog EB1089 in human SCC25 head and neck squamous carcinoma cells. One hundred fifty-two targets were identified, composed of 89 up- and 63 down-regulated genes distributed in multiple profiles of regulation. Results are consistent with EB1089 driving SCC25 cells toward a less malignant phenotype, similar to that of basal keratinocytes. Targets identified control inter- and intracellular signaling, G protein-coupled receptor function, intracellular redox balance, cell adhesion, and extracellular matrix composition, cell cycle progression, steroid metabolism, and more than 20 genes modulating immune system function. The data indicate that EB1089 performs three key functions of a cancer chemoprevention agent; it is antiproliferative, it induces cellular differentiation, and has potential genoprotective effects. While no evidence was found for gene-specific differences in efficacy of 1,25-(OH)2D3 and EB1089, gene regulation by 1,25-(OH)2D3 was generally more transient. Treatment of cells with 1,25-(OH)2D3 and the cytochrome P 450 inhibitor ketoconazole produced profiles of regulation essentially identical to those observed with EB1089 alone, indicating that the more sustained regulation by EB1089 was

due to its resistance to inactivation by induced 24-hydroxylase activity. This suggests that differences in action of the two compds. arise more from their relative sensitivities to metabolism than from differing effects on VDR function.

AN 2002:431768 CAPLUS

DN 138:50194

TI Expression profiling in squamous carcinoma cells reveals pleiotropic effects of vitamin D3 analog EB1089 signaling on cell proliferation, differentiation, and immune system regulation

AU Lin, Roberto; Nagai, Yoshihiko; Sladek, Robert; Bastien, Yolande; Ho, Joanne; Petrecca, Kevin; Sotiropoulou, Georgia; Diamandis, Eleftherios P.; Hudson, Thomas J.; White, John H.

CS Department of Physiology, McGill University, Montreal, QC, H3G 1Y6, Can.

SO Molecular Endocrinology (2002), 16(6), 1243-1256 CODEN: MOENEN; ISSN: 0888-8809

PB Endocrine Society

DT Journal

LA English

RE.CNT 89 THERE ARE 89 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2006 ACS on STN
TI Synthesis of arylsulfonyl-pyranyl-hydroxamic acids as MMP inhibitors
GI

AB Title compds. I [X = 0, S0-2, NR3; Z = alkoxy, amino, alkyl; R1-2, R5-6 = H, CN, alk(en/yn)yl, (hetero)arylalken(yn)yl, etc.; R4 = H, alkyl; R7-8 = H, OH, halo, CN, alk(en/yn)yl, (alkyl)amino, etc.] were prepared E.g., common intermediate II (Y = OH, preparation given) was converted to aryloxy derivs. with a substituted benzyl halide (DMF, Cs2CO3, room temperature) and converted to N-hydroxy amide I via an intermediate N-hydroxy-N-allyl amide (a. DCM, allylhydroxylamine, HOBt, EDCI; b. CH3CNaq, Pd(PPh3)4, HCO2H, Et3N). I exhibit collagenase activity with IC50 ≤ 100 μM in at least one of the collagenase assays conducted (no data). I are useful in the treatment of arthritis, cancer, and other diseases involving the dysregulated production/release of reprolysins such as aggrecanase and other diseases characterized by matrix metalloproteinase activity.

AN 2001:729766 CAPLUS

DN 135:288692

TI Synthesis of arylsulfonyl-pyranyl-hydroxamic acids as MMP inhibitors

IN Noe, Mark Carl

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 52 pp. CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		D	ATE	
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PI	ΕP	1138	680			A1		2001	1004		EP 2	001-	3024	36		2	0010	316
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						LV,					•	•	-	•	•	•	•	

327
0327
0329
0329

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s L4 and ((Cox-2) or (cyclooxygenase(w)2)
UNMATCHED LEFT PARENTHESIS 'AND ((COX-2'
The number of right parentheses in a query must be equal to the number of left parentheses.

=> s L4 and ((Cox-2) or (cyclooxygenase(w)2))
L7 8 L4 AND ((COX-2) OR (CYCLOOXYGENASE(W) 2))

=> file registry SINCE FILE COST IN U.S. DOLLARS TOTAL ENTRY SESSION 45.30 45.95 FULL ESTIMATED COST DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL SESSION ENTRY CA SUBSCRIBER PRICE -3.75 -3.75

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STRUCTURE FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5 DICTIONARY FILE UPDATES: 27 APR 2006 HIGHEST RN 882066-77-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>

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chain nodes :
11 12 13 14
ring nodes :

1 2 3 4 5 6 7 8 9 10

chain bonds :

2-12 8-14 10-11 12-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10

exact/norm bonds :

5-7 6-10 7-8 8-9 8-14 9-10 10-11

exact bonds : 2-12 | 12-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 13:CLASS 14:CLASS

3 ANSWERS

L8 STRUCTURE UPLOADED

=> s L8

SAMPLE SEARCH INITIATED 11:53:14 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 189 TO ITERATE

100.0% PROCESSED 189 ITERATIONS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2956 TO 4604
PROJECTED ANSWERS: 3 TO 163

L9 3 SEA SSS SAM L8

=> sel L9

E1 THROUGH E3 ASSIGNED

=> d L9 1-3 ti abs bib

'TI' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'ABS' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'
'BIB' IS NOT A VALID FORMAT FOR FILE 'REGISTRY'

The following are valid formats:

Substance information can be displayed by requesting individual

```
fields or predefined formats. The predefined substance formats
are: (RN = CAS Registry Number)
REG
      - RN
      - Index Name, MF, and structure - no RN
SAM
FIDE
      - All substance data, except sequence data
      - FIDE, but only 50 names
SQIDE - IDE, plus sequence data
SQIDE3 - Same as SQIDE, but 3-letter amino acid codes are used
      - Protein sequence data, includes RN
      - Same as SQD, but 3-letter amino acid codes are used
SQD3
SQN
      - Protein sequence name information, includes RN
CALC
      - Table of calculated properties
EPROP - Table of experimental properties
PROP - EPROP and CALC
Any CA File format may be combined with any substance format to
obtain CA references citing the substance. The substance formats
must be cited first. The CA File predefined formats are:
ABS -- Abstract
APPS -- Application and Priority Information
BIB -- CA Accession Number, plus Bibliographic Data
CAN -- CA Accession Number
CBIB -- CA Accession Number, plus Bibliographic Data (compressed)
IND -- Index Data
IPC -- International Patent Classification
PATS -- PI, SO
STD -- BIB, IPC, and NCL
IABS -- ABS, indented, with text labels
IBIB -- BIB, indented, with text labels
ISTD -- STD format, indented
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
The ALL format gives FIDE BIB ABS IND RE, plus sequence data when
it is available.
The MAX format is the same as ALL.
The IALL format is the same as ALL with BIB ABS and IND indented,
with text labels.
For additional information, please consult the following help
messages:
HELP DFIELDS -- To see a complete list of individual display fields.
HELP FORMATS -- To see detailed descriptions of the predefined formats.
ENTER DISPLAY FORMAT (IDE):
ENTER DISPLAY FORMAT (IDE):ide
1.9
     ANSWER 1 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN
     211938-02-2 REGISTRY
     Entered STN: 01 Oct 1998
     2,4(1H,3H)-Quinazolinedione, 6-[(4-cyclobutyl-2-thiazolyl)ethynyl]-3-(1H-
     tetrazol-5-yl)- (9CI) (CA INDEX NAME)
FS
     3D CONCORD
MF
     C18 H13 N7 O2 S
SR
     CA
LC
     STN Files: CA, CAPLUS
```

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 1 REFERENCES IN FILE CA (1907 TO DATE)
- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L9 ANSWER 2 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 188897-12-3 REGISTRY
- ED Entered STN: 08 May 1997
- CN 2-Azetidinecarboxylic acid, 1-[[5-[[2-[(2,2-dimethyl-1-oxopropyl)amino]-1,4-dihydro-4-oxopyrido[2,3-d]pyrimidin-6-yl]ethynyl]-2-thienyl]carbonyl]-4-(hydroxymethyl)-, methyl ester, cis- (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C25 H25 N5 O6 S
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER

Relative stereochemistry.

$$c = c$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
- L9 ANSWER 3 OF 3 REGISTRY COPYRIGHT 2006 ACS on STN
- RN 188897-09-8 REGISTRY
- ED Entered STN: 08 May 1997
- CN 2-Azetidinecarboxylic acid, 1-[[5-[[2-[(2,2-dimethyl-1-oxopropyl)amino]-1,4-dihydro-4-oxopyrido[2,3-d]pyrimidin-6-yl]ethynyl]-2-thienyl]carbonyl]-, methyl ester, (S)- (9CI) (CA INDEX NAME)
- FS STEREOSEARCH
- MF C24 H23 N5 O5 S
- SR CA
- LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.

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- 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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=> s E1-E3

1 188897-09-8/BI 1 188897-12-3/BI 1 211938-02-2/BI

L10 2 (188897-09-8/BI OR 188897-12-3/BI OR 211938-02-2/BI)

=> d L10 1-2 ti abs bib

L10 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
TI Preparation of 2-ethynylthiazole derivatives as leukotriene antagonists
GI

$$C \equiv C - A - (G^1)_{m^-} (G^2)_{n^-} Q$$

$$C \equiv C \longrightarrow N$$

$$N + C \equiv C \longrightarrow N$$

$$N + C \equiv C \longrightarrow N$$

$$N = N$$

The title compds. [I; R1, R2 = H, halo, (un) substituted alkyl or AB cycloalkyl; or R1 and R2 together form a ring; A = (un)substituted Ph, pyridyl, furyl, thienyl, benzofuranyl, benzo[b]thienyl, benzoxazolyl, benzothiazolyl, pyrido[1,2-a]pyrimidinyl, quinazolyl, benzotriazinyl, or 2H-chromenyl; G1 = O, CO, C.tplbond.C, (un)substituted NR3CO, NR4, NR5SO2, SO2NR6, CONR7, C(:CHR8), CR9:CR10; R3 - R7 = H, OH, (un) substituted alkyl; R8 = cyano, CO2H, (un) substituted alkoxycarbonyl; R9, R10 = H, halo, (un) substituted alkyl, cycloalkyl, or aryl; or R9 and R10 together form a ring; G2 = (un) substituted Ph, pyridyl, thiazolyl, isoxazolyl, thienyl, or pyrimidinyl, etc.; m, n = 0, 1; Q = CO2H, (un)substituted alkoxycarbonyl, 5-tetrazolylaminocarbonyl, (un)substituted 5-tetrazolyl, 1,2,3-triazolyl, 2,4-dioxothiazolidin-5-ylidene, or 4-oxo-2-thioxothiazolidin-5-ylidene, etc.; excluding the case where m = n = 0 and Q = CO2H or alkoxycarbonyl], which show photostability and activities of both leukotriene antagonism and inhibition of histamine release from mast cells, are prepared A therapeutic or preventive drug containing I as the active ingredient for the treatment of allergies or leukotriene and/or histamine-related diseases is Thus, 2-fluoro-4-[2-(4-methoxybenzyl)-2H-tetrazol-5-yl]benzoic acid was refluxed with SOCl2 in the presence of DMF in PhMe for 3 h and then condensed with 3-[2-(4-cyclobutyl-2-thiazolyl)ethynyl]aniline in the presence of Et3N, followed by treatment with anisole/CF3CO2H to give the title compound, ethynylthiazole containing triazole derivative (II). II in vitro

II

showed IC50 5.7+10-10 M for inhibiting leukotriene D4-induced contraction of guinea pig's ileum and 9.3+10-9 M for inhibiting histamine release from rat's mast cells and in vivo inhibited leukotriene D4-induced contraction of guinea pig's air way with ID50 of 0.4 mg/kg p.o. An inhalant and capsule formulation containing II were prepared

AN 1998:493329 CAPLUS

DN 129:189329

TI Preparation of 2-ethynylthiazole derivatives as leukotriene antagonists

IN Nakayama, Atsushi; Takeda, Satoshi; Machinaga, Nobuo; Ogasawara, Tomomi; Naito, Hiroshi; Hasegawa, Masashi; Haruda, Makoto

PA Daiichi Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 121 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN CNT 1

TAM.CNI I				
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 10195063	A2	19980728	JP 1997-286340	19971020
PRAI JP 1996-278347	Α	19961021		
OS MARPAT 129:189329				

TI Synthesis of some conformationally-constrained glutamate mimics of N-{5-[2-(2-amino-3,4-dihydro-4-oxo-5,6,7,8-tetrahydropyrido[2,3-d]pyrimidin-6-yl)ethyl[thien-2-ylcarbonyl]-L-glutamic acid (LY254155)

$$H_{2N}$$
 H_{N}
 $H_{$

AB Several new analogs I (R = cis-CO2H, trans-CO2H, H), II, and III of the active title antitumor agent LY254155 have been prepared in which the glutamate moiety has been replaced with conformationally-constrained azetidine and cyclopropane mimics. None of these new analogs exhibited significant cell growth inhibitory activity.

AN 1997:181706 CAPLUS

DN 126:277728

TI Synthesis of some conformationally-constrained glutamate mimics of N-{5-[2-(2-amino-3,4-dihydro-4-oxo-5,6,7,8-tetrahydropyrido[2,3-d]pyrimidin-6-yl)ethyl[thien-2-ylcarbonyl]-L-glutamic acid (LY254155)

AU Taylor, Edward C.; Hu, Baihua

CS Dep. Chem., Princeton Univ., Princeton, NJ, 08544, USA

SO Heterocycles (1997), 45(2), 241-253 CODEN: HTCYAM; ISSN: 0385-5414

PB Japan Institute of Heterocyclic Chemistry

DT Journal

LA English

RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FULL ESTIMATED COST

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